

**ABSTRACT OF THE DISCLOSURE:**

An efficient molecular evolution system was obtained by combining two novel approaches in the field, intramolecular acyl migration for DCL generation and boronic acid as a selector. 1,4-Di-O-benzoyl chiro-inositol in acetonitrile with DBU as a base generated a reversible equilibrium of 9 regioisomers. Only 3,4-di-O-benzoyl chiro-inositol, containing two sets of cis-diols, is most favored toward binding with phenyl boronic acid, and its percentage of the DCL composition was amplified by up to 82%.